## IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants: Bickers et al.

Serial No.: 10/810211

Filed: 26 March 2004

For: Use of hydroxyaromatic compounds as safeners

Examiner: Courtney Brown

Art Unit: 1616

## **DECLARATION**

I, Dr. Udo Bickers, state, that I am a resident of Jesuitengasse 6, D-50735 Cologne, Federal Republic of Germany; that I am a citizen of the Federal Republic of Germany; that I am a agronomist having studied at the Universities of Hannover and Bonn in Germany; that I received the degree of Doctor agr., the German PhD equivalent for agronomy, at the University of Bonn in the year 1997; that I am specialized in the field of plant protection and phytomedicine; that I joined the agrochemical company Hoechst Schering AgrEvo GmbH, now Bayer CropScience AG; that I am an employee as scientist and head of a research laboratory in the Biological Research Department since 1997; that I am familiar with U.S. Patent Application Serial No. 10/810211 filed 26 March 2004 for USE OF HYDROXYAROMATIC COMPOUNDS AS SAFENERS; that I consider myself qualified by my education, knowledge and many years of experience in agricultural chemistry and, specifically, of herbicide and safener screening and evaluation, to make this Declaration for the subject matter claimed in the above patent application; and that I have made the following observations to wit:

Under my direct supervision the Compounds A1 to A32 (see Table 1) according to US Patent Application Serno. 10/810211 were tested in combination with herbicide H1 on several crops and weeds for evaluating the selectivity of the combinations for weed control in the crops.

The results are summarized in tables 2 to 6 further below.

Table 1: Test Compounds of formula (I)

$$R^{3}-(Z)_{n}$$
 $R^{4}-(Z')_{m}$ 
 $R^{6}$ 
 $(Z'')_{o}-R^{5}$ 

Cpd.	R <sup>1</sup>	R <sup>2</sup>	$R^3(Z)_n$	R <sup>4</sup> (Z') <sub>m</sub>	R <sup>5</sup> (Z") <sub>o</sub>	R <sup>6</sup>
A1	CO-OH	H	-OH	-OH	-OH	H
A2	CO-OCH₃	Н	-OH	-OH	-OH	H
А3	CO-O-n-C <sub>3</sub> H <sub>7</sub>	H	-он	-OH	-OH	Н
A4	CO-O-n-C <sub>4</sub> H <sub>9</sub>	Н	-OH	-OH	-OH	Н
<b>A</b> 5	CO-O-n-C <sub>8</sub> H <sub>17</sub>	Н	-OH	-OH	-OH	Н
A6	CO-O-n-C <sub>16</sub> H <sub>33</sub>	Н	-OH	-OH	-OH	Н
A7	CO-O-i-C <sub>5</sub> H <sub>11</sub>	Н	-OH	-OH	-OH	Н
A8	CO-OCH <sub>3</sub>	Н	-O-COCH <sub>3</sub>	-O-COCH <sub>3</sub>	-O-COCH <sub>3</sub>	Н
A9	со-он	Н	-O-CH₃	-OH	-O-CH <sub>3</sub>	Н
A10	со-он	Н	-OH	-OH	-O-CH <sub>3</sub>	Н
A11	со-он	Н	-O-COCH <sub>3</sub>	-O-COCH <sub>3</sub>	-O-COCH₃	Н
A12	со-он	CI	-OH	CI	Н	Н
A13	СО-ОН	CI	-ОН	-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	H	Н
A14	СО-ОН	Cl	-OH	-NO <sub>2</sub>	Н	Н
A15	CO-OCH <sub>3</sub>	CI	-SH	Н	H	Н
A16.	со-он	Н	-O-CH₃	-NH <sub>2</sub>	Н	Н
A17	CO-OCH <sub>3</sub>	CI	-OH	CI	Н	Н
A18	CO-OCH₃	CI	-OH	-SO <sub>2</sub> -C <sub>2</sub> H <sub>5</sub>	Н	Н
A19	CO-OCH <sub>3</sub>	CI	-OH	-SO <sub>2</sub> -CH <sub>3</sub>	Н	H

Cpd.	R <sup>1</sup>	R <sup>2</sup>	$R^3(Z)_n$	R <sup>4</sup> (Z') <sub>m</sub>	R <sup>5</sup> (Z")₀	R <sup>6</sup>
No.		***				
A20	со-он	Н	-NH <sub>2</sub>	-ОН	Н	H
A21	со-он	Н	-NH <sub>2</sub>	-OCH₃	Н	H
A22	со-он	H	-NO <sub>2</sub>	-OH	Н	H
A23	со-он	Н	-OH	-NH <sub>2</sub>	Н	H
A24	CO-OCH <sub>3</sub>	Н	-OH	-NH <sub>2</sub>	Н	H
A25	со-он	-CH₃	-NH <sub>2</sub>	Н	Н	H
A26	CO-OCH <sub>3</sub>	-CH₃	-SCONMe <sub>2</sub>	H	Н	Н
A27	со-он	Н	-OH	-OCH₃	H	Н
A28	CO-OC <sub>2</sub> H <sub>5</sub>	H	-OCH₃	-OH	Н	Н
A29	CO-O-n-C <sub>12</sub> H <sub>25</sub>	H	-OH	-OH	-OH	Н
A30	со-он	H	t-C₄H <sub>9</sub>	-OH	t-C₄H <sub>9</sub>	Н
A31	со-он	Н	-OH	-OH	H	Н
A32	CO-OCH₃	Н	t-C <sub>4</sub> H <sub>9</sub>	-OH	t-C₄H <sub>9</sub>	Н

## Abbreviations in Tables 1 to 6:

GOSHI = Gossypum hirsutum (cotton)

ZEAMA = Zea mays (maize)
ORYZA = Oryza sativa (rice)

TRZAS = Triticum aestivum (summer wheat)

GLXMA = Glycine max (soya)

LOLMU = Lolium multiflorum

ECHCG = Echinochloa crus-galli

Cpd. No. = Compound according to table 1

H1 = herbicide thiencarbazone-methyl (common name)

a.i. = a.i., active ingredient

## **Test conditions**

Post-emergence application of herbicide and safener by the tank mix method

Seeds of various crop plants and weed species were sown in sandy loam soil in round plastic pots of a diameter of 13 cm and covered with a layer of sandy loam of a thickness of about 1 cm. The pots were placed in a greenhouse under favorable growth conditions, allowing the plants to reach a growth stage of 2 to 4 leaves. The herbicide in the form of a standard formulation was diluted with deionized water to the required concentrations and applied to the green parts of the plants and the uncovered part of the soil surface with a spray bar using a water application rate of 300 liters per hectare. In the experiments shown below, safener and the herbicide thiencarbazone-methyl were in each case used as a tank-mix of the herbicide formulation and a standard formulation of the safener.

The pots were placed in a greenhouse under favorable growth conditions. Visual scoring of the herbicidal action was carried out four weeks after the herbicide or herbicide-safener application. Evaluation was carried out on a percentage basis by comparison with untreated control plants (0% = no noticeable effect compared with the untreated plant, 100% = treated plant dies). The results are summarized in Tables 2 to 6.

Table 2: Safener effect (cotton) and herbicidal effect (in %) in post-emergence application

Compounds (Cpd. No.)	g a.i./ha	G O S H	L O L M U	ECHCG
H1	7.5	80	100	100
H1 + A1	7.5 + 250	25	100	99
H1 + A2	7.5 + 250	25	100	99
H1 + A3	7.5 + 250	30	100	100
H1 + A4	7.5 + 250	20	100	99
H1 + A5	7.5 + 250	15	99	98
H1 + A6	7.5 + 250	20	98	99
H1 + A7	7.5 + 250	25	98	99
H1 + A8	7.5 + 250	20	99	100
H1 + A9	7.5 + 250	25	100	99
H1 + A10	7.5 + 250	25	99	99
H1 + A11	7.5 + 250	20	93	100
H1 + A12	7.5 + 250	20	83	99
H1 + A13	7.5 + 250	15	93	97
H1 + A14	7.5 + 250	10	97	97
H1 + A15	7.5 + 250	10	93	100
H1 + A16	7.5 + 250	20	100	99
H1 + A17	7.5 + 250	20	96	97
H1 + A18	7.5 + 250	25	100	99
H1 + A19	7.5 + 250	30	100	99
H1 + A20	7.5 + 250	20	99	100
H1 + A21	7.5 + 250	20	100	100
H1 + A22	7.5 + 250	20	99	100
H1 + A23	7.5 + 250	15	99	99
H1 + A24	7.5 + 250	25	100	100
H1 + A25	7.5 + 250	30	94	99
H1 + A26	7.5 + 250	30	100	100
H1 + A27	7.5 + 250	20	100	100
H1 + A28	7.5 + 250	30	100	100

Table 3: Safener effect (maize) and herbicidal effect (in %) in post-emergence application

Compounds	g a.i./ha	Z E A M	L O L M U	ECHCG
H1	7.5	85	100	100
H1 + A3	7.5 + 250	0	100	100
H1 + A4	7.5 + 250	23	100	99
H1 + A5	7.5 + 250	15	99	98
H1 + A6	7.5 + 250	0	98	99
H1 + A14	7.5 + 250	0	97	97
H1 + A16	7.5 + 250	2	100	99
H1 + A20	7.5 + 250	10	99	100
H1 + A21	7.5 + 250	22	100	100
H1 + A22	7.5 + 250	23	99	100
H1 + A23	7.5 + 250	8	99	99
H1 + A24	7.5 + 250	30	100	100
H1 + A29	7.5 + 250	20	98	99
H1 + A30	7.5 + 250	15	85	100
H1 + A31	7.5 + 250	30	99	99

Table 4: Safener effect (rice) and herbicidal effect (in %) in post-emergence application

Compounds	g a.i./ha	O R Y	L 0 L	шСН
The second secon		Z	M U	C G
H1	7.5	35	100	100
H1 + A3	7.5 + 250	5	100	100
H1 + A6	7.5 + 250	0	98	99
H1 + A10	7.5 + 250	3	99	99
H1 + A16	7.5 + 250	3	100	99
H1 + A30	7.5 + 250	0	85	100
H1 + A32	7.5 + 250	8	97	100

Table 5: Safener effect (wheat) and herbicidal effect (in %) in post-emergence application

		Т		L	E
Compounds	g a.i./ha	Ŕ		ō	
•		Z		L	Н
****		Α		M	CHCG
		S		U	G
H1	7.5	23		100	100
H1 + A14	7.5 + 250	8		97	97
H1 + A15	7.5 + 250	8		93	100
H1 + A21	7.5 + 250	10		100	100
H1 + A23	7.5 + 250	8		99	99
H1 + A27	7.5 + 250	10	Ī	100	100

Table 6: Safener effect (soya) and herbicidal effect (in %) in post-emergence application

Compounds (Cpd. No.)	g a.i./ha	G L X M	L O L M U	шотоб
H1	7.5	93	100	100
H1 + A2	7.5 + 250	25	100	99
H1 + A3	7.5 + 250	20	100	100
H1 + A4	7.5 + 250	33_	100	99
H1 + A12	7.5 + 250	4	83	99
H1 + A13	7.5 + 250	5_	93	97
H1 + A14	7.5 + 250	4	 97	97
H1 + A17	7.5 + 250	23	96	97

I declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the patent application or any patent issuing thereon.

Frankfurt am Main, this 12th day of May, 2009

(Udo Bickers)